

## INTERNATIONAL SEARCH REPORT

International Publication No.

PCT/US2004/043114

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07F5/02 A61P35/00 A61K31/69

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07F A61P A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, BIOSIS, EMBASE, BEILSTEIN Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	KUMAR S K ET AL: "Design, synthesis, and evaluation of novel boronic-chalcone derivativ" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 46, no. 14, 2003, pages 2813-2815, XP002975732 ISSN: 0022-2623 table 1; compounds 3A,3B,3C,3D,3F,3G,7 page 2814, left-hand column ----- -/-	1-7,9-16       8 1-7,9-16
A Y		



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

## \* Special categories of cited documents:

\*A\* document defining the general state of the art which is not considered to be of particular relevance

\*C\* earlier document but published on or after the International filing date

\*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

\*O\* document referring to an oral disclosure, use, exhibition or other means

\*P\* document published prior to the international filing date but later than the priority date claimed

\*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

\*X\* document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

\*Y\* document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

\*Z\* document member of the same patent family

Date of the actual completion of the international search

3 May 2005

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17/05/2005

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	BOUGET K ET AL: "HYDRAZINO-AZA AND N-AZAPEPTOIDS WITH THERAPEUTIC POTENTIAL AS ANTICANCER AGENTS" BIOORGANIC & MEDICINAL CHEMISTRY, ELSEVIER SCIENCE LTD, GB, vol. 11, no. 23, 17 November 2003 (2003-11-17), pages 4881-4889, XP001187599 ISSN: 0968-0896	1-7,9-16
A	compounds 11A,11B,11C	8
X	YANG W ET AL: "Boronic acid compounds as potential pharmaceutical agents" MEDICINAL RESEARCH REVIEWS, NEW YORK, NY, US, vol. 23, no. 3, 2003, pages 346-368, XP009030957 ISSN: 0198-6325	1-7,9-16
A	page 357, last paragraph - page 358, paragraph 1; figure 15; compound 42	8
Y	EP 0 947 511 A (F. HOFFMANN-LA ROCHE AG) 6 October 1999 (1999-10-06) page 7; claims 1,8,9; examples 2,4,12	1-7,9-16
A	WO 91/17749 A (BAYLOR COLLEGE OF MEDICINE) 28 November 1991 (1991-11-28) page 30, line 5 - line 6; claims 1,8	1-16
X	DICESARE N ET AL: "Chalcone-analogue fluorescent probes for saccharides signaling using the boronic acid group" TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 43, no. 14, 1 April 2002 (2002-04-01), pages 2615-2618, XP004343966 ISSN: 0040-4039 compounds CHALC1, CHALC2	1-7,9-13
X	SERAFIN B ET AL: "Arylb Boronic Compounds - VIII" TETRAHEDRON, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 19, 1963, pages 821-826, XP002327007 ISSN: 0040-4020 page 824; table 1; compound IIA	1-7,9-13

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 International Publication No.  
 PCT/US2004/043114

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	CATLIN J C ET AL: "Synthesis, Reactions, and Mass Spectral Studies of Some Cyclic Amine-Boranes and Their Catechol Derivatives" JOURNAL OF ORGANIC CHEMISTRY, AMERICAN CHEMICAL SOCIETY. EASTON, US, vol. 34, 1969, pages 1664-1668, XP002327008 ISSN: 0022-3263 compound 1B -----	1-7,9-13
X	CATLIN J C ET AL: "Preparation and Reactions of o-(Cyanomethyl)benzeneboronic Acid" JOURNAL OF ORGANIC CHEMISTRY, AMERICAN CHEMICAL SOCIETY. EASTON, US, vol. 34, 1969, pages 1660-1663, XP002327009 ISSN: 0022-3263 compound 12 -----	1-7,9-13
A	SNYDER H R ET AL: "Synthesis of Aromatic Boronic Acids. Aldehyde Boronic Acids and a Boronic Acid Analog of Tyrosine" JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, DC, US, vol. 80, 1958, pages 835-838, XP002327010 ISSN: 0002-7863 page 836, right-hand column, paragraph 1; compound VII -----	1-7,9-13
X	FU J-M ET AL: "Connections to the directed ortho metalation strategy. Pd(0)-catalyzed cross coupling of aryl boronic acids with aryl triflates" TETRAHEDRON LETTERS 1990 UNITED KINGDOM, vol. 31, no. 12, 1990, pages 1665-1668, XP002327011 ISSN: 0040-4039 page 1667; compounds E,F -----	1-7,9-13
X	STRACHAN J P ET AL: "The preparation of some 1,7b-disubstituted cyclopropa(c)isoquinolines via nitrile ylide cyclisations and their rearrangement to 2-benzazepines and 4-alkenyl-1,4-dihydroisoquinolines" JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANSACTIONS 1, CHEMICAL SOCIETY. LETCHWORTH, GB, vol. 13, 1998, pages 807-812, XP002327012 ISSN: 0300-922X compound 7 -----	1-7,9-13

# INTERNATIONAL SEARCH REPORT

International Publication No  
PCT/US2004/043114

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>YANG N ET AL: "Nickel-Catalyzed Cross-Couplings of Cyclohexenyl Phosphate and Arylboronic Acids" TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 40, no. 17, 23 April 1999 (1999-04-23), pages 3321-3324, XP004162272 ISSN: 0040-4039 table 1; compound 4</p>	1-7,9-13
X	<p>KOBAYASHI Y ET AL: "Zinc Borates: Functionalized Hard Nucleophiles for Coupling Reactions with Secondary Allylic Acetates" EUROPEAN JOURNAL OF ORGANIC CHEMISTRY, WILEY-VCH, WEINHEIM, DE, 2000, pages 3825-3834, XP002327013 ISSN: 1434-193X compound 21</p>	1-7,9-13
X	<p>FALCK J R ET AL: "Bromo-boronolactonization of olefins." THE JOURNAL OF ORGANIC CHEMISTRY. 19 OCT 2001, vol. 66, no. 21, 19 October 2001 (2001-10-19), pages 7148-7150, XP002327014 ISSN: 0022-3263 compound 19</p>	1-7,9-13
X	<p>FALCK J R ET AL: "Homocoupling of alkyl-, alkenyl-, and arylboronic acids" TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 43, no. 45, 4 November 2002 (2002-11-04), pages 8149-8151, XP004387210 ISSN: 0040-4039 compound 23</p>	1-7,9-13
X	<p>JIANG WEIQIN ET AL: "Furoyl and benzofuroyl pyrroloquinolones as potent and selective PDE5 inhibitors for treatment of erectile dysfunction." JOURNAL OF MEDICINAL CHEMISTRY. 30 JAN 2003, vol. 46, no. 3, 30 January 2003 (2003-01-30), pages 441-444, XP002327015 ISSN: 0022-2623 page 442, right-hand column; compounds 20Q,20R</p>	1-7,9-13

# INTERNATIONAL SEARCH REPORT

Int. Appl. No.  
PCT/US2004/043114

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>DATABASE BEILSTEIN BEILSTEIN CROSSFIRE INSTITUT ZUR FOERDERUNG DER CHEMISCHEN WISSENSCHAFTEN, DE; Citation Number 2508506; BRN 488408 1991, XP002327019 abstract &amp; SERAFIN ET AL: ROCZNIKI CHEMII ANNALES SOCIETATIS CHIMICAE POLONORUM, vol. 35, 1961, pages 359-362, ISSN: 0035-7677</p>	1-7,9-13
X	<p>ROBERTS D C ET AL: "PLURI POTENTIAL AMINO-ACIDS 1. L-P DI HYDROXYBORYLPHENYL ALANINE AS A PRECURSOR OF L PHENYL ALANINE AND L TYROSINE CONTAINING PEPTIDES SPECIFIC TRITATION OF L PHENYL ALANINE CONTAINING PEPTIDES AT A FINAL STEP IN SYNTHESIS" TETRAHEDRON LETTERS, vol. 21, no. 36, 1980, pages 3435-3438, XP002327018 ISSN: 0040-4039 compound H-L-Bph-OEt</p>	1-7,9-13
P,X	<p>WO 03/106384 A (JOHNS HOPKINS UNIVERSITY; KHAN, SAEED, R., PH., D) 24 December 2003 (2003-12-24) page 34, line 20; claims 1,15; examples; table 1</p>	1-16

## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Publication No

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Patent document cited in search report		Publication date	Patent family member(s)	Publication date
EP 0947511	A	06-10-1999	EP 0947511 A1	06-10-1999
			AU 2250299 A	14-10-1999
			BR 9901208 A	25-04-2000
			CA 2267047 A1	30-09-1999
			CN 1232028 A	20-10-1999
			EP 0947494 A1	06-10-1999
			HR 990093 A1	29-02-2000
			HU 9900804 A2	29-11-1999
			ID 22335 A	30-09-1999
			JP 2000063340 A	29-02-2000
			NO 991526 A	01-10-1999
			NZ 334874 A	25-08-2000
			PL 332273 A1	11-10-1999
			SG 81972 A1	24-07-2001
			TR 9900719 A2	21-10-1999
			ZA 9902407 A	30-09-1999
WO 9117749	A	28-11-1991	AU 7963691 A	10-12-1991
			CA 2084208 A1	18-11-1991
			EP 0528975 A1	03-03-1993
			JP 5509078 T	16-12-1993
			WO 9117749 A1	28-11-1991
WO 03106384	A	24-12-2003	AU 2003243594 A1	31-12-2003
			WO 03106384 A2	24-12-2003